Enhancing Chemosensitivity in ABCB1- and ABCG2-Overexpressing Cells and Cancer Stem-Like Cells by An Aurora Kinase Inhibitor CCT129202 Corresponding author: *Liwu Fu*, State Key Laboratory of Oncology in South China, Cancer Center, Sun Yat-Sen University, Guangzhou, 510060, China. E-mail: <a href="mailto:fulw@mail.sysu.edu.cn">fulw@mail.sysu.edu.cn</a>; or *Chao Cheng*, The First Affiliated Hospital of Sun Yat-Sen University, E-mail: <a href="mailto:drchengchao@yahoo.com.cn">drchengchao@yahoo.com.cn</a>

## **Supplementary table S1.** Effect of CCT129202 on reversing ABCB1-mediated MDR in KBv200 cells with different expression of ABCB1

KBv200 cells	IC <sub>50</sub> ±SD (μM; fold-reversal)						
	Doxorubicin	fold-reversal 1	Doxorubicin+0.5µMCCT129202	fold-reversal 2			
control	$4.326 \pm 1.190$	(1.00)	1.072 ± 0.041**	(4.04)			
NC-siRNA	$4.625 \pm 0.536$	(0.94)	$1.277 \pm 0.183**$	(3.39)			
ABCB1-siRNA-1	$4.476 \pm 0.422$	(0.97)	$1.254 \pm 0.329**$	(3.45)			
ABCB1-siRNA-2	$1.345 \pm 0.162**$	(3.22)	$0.740 \pm 0.076**$	(5.84)			
ABCB1-siRNA-3	1.191 ± 0.122**	(3.63)	$0.765 \pm 0.071**$	(5.65)			

NOTE: "fold-reversal 1"= $IC_{50}$  of control/  $IC_{50}$  of cells treated with doxorubicin alone; "fold-reversal 2"= $IC_{50}$  of control / $IC_{50}$  of cells treated with doxorubicin +0.5µMCCT129202. Cell survival was performed by MTT assay as described in Materials and Methods. Data are shown as the mean  $\pm$  standard deviation (SD) of at least three independent experiments performed in triplicate. \*\*, p< 0.01 for values versus that obtained in the absence of CCT129202 in KBv200 cells. *This material is available free of charge via the Internet at http://pubs.acs.org* 

Supplementary table S2 The clinical characteristics of 20 patients with esophageal carcinomas in TECIA study

NO.	Sex	Age	Tumor	Histological	TNM	Expression of	Inhibit Rate (%)		
		(years)	location	grading	classification	ABCB1	Paclitaxel	CCT129202	CCT129202+Paclitaxel
1	Male	55	Middle	PD	T3N0M0	Negative	$79.5 \pm 17.5$	$-7.0 \pm 10.8$	82.2 ± 12.7
2	Female	62	Middle	PD	T3N0M0	Positive (++)	$23.0 \pm 9.8$	$3.0 \pm 5.7$	$59.9 \pm 12.6$
3	Male	69	Middle	PD	T3N2M0	Negative	$53.8 \pm 14.2$	$5.3 \pm 2.5$	$50.1 \pm 4.3$
4	Male	69	Middle	MD	T2N0M0	Negative	$48.9 \pm 14.6$	$27.1 \pm 11.2$	$46.3 \pm 22.3$
5	Male	54	Lower	MD	T3N0M0	Negative	$57.7 \pm 13.8$	$-5.0 \pm 5.42$	$49.9 \pm 18.4$
6	Male	74	Lower	MD	T3N0M0	Negative	$44.8 \pm 1.7$	$2.3 \pm 7.9$	$32.8 \pm 22.7$
7	Male	55	Lower	MD	T3N2M0	Negative	$77.6 \pm 20.6$	$8.3 \pm 9.2$	$90.9 \pm 30.3$
8	Female	68	Middle	MD	T3N1M0	Negative	$3.4 \pm 3.2$	$3.76 \pm 8.7$	$44.3 \pm 14.0$
9	Male	50	Lower	MD	T3N1M0	Positive (+++)	$24.3 \pm 24.0$	-5.1 ±8.4	$73.5 \pm 5.9$
10	Female	48	Middle	MD	T3N1M0	Negative	$87.4 \pm 14.0$	$37.6 \pm 17.6$	$97.1 \pm 4.4$
11	Male	67	Lower	MD	T3N0M0	Negative	$83.9 \pm 16.2$	$17.9 \pm 2.1$	$72.1 \pm 16.7$
12	Male	58	Middle	WD	T3N0M0	Negative	$46.3 \pm 11.8$	$10.8 \pm 3.9$	$72.4 \pm 22.8$
13	Male	66	Lower	MD	T2N2M0	Negative	$42.4 \pm 27.0$	$30.1 \pm 5.2$	$60.9 \pm 26.1$
14	Male	67	Middle	WD	T3N0M0	Negative	$22.7 \pm 3.9$	24.3 ±9.4	45.4 ±9.9
15	Male	47	Lower	MD	T3N0M0	Negative	39.4 ±9.2	$14.1\pm11.8$	$60.8 \pm 30.4$
16	Male	70	Middle	MD	T3N0M0	Positive (+)	$9.70 \pm 5.0$	$4.3 \pm 2.0$	$61.2 \pm 29.8$
17	Male	44	Middle	WD	T3N0M0	Negative	$42.2\pm10.5$	$5.3 \pm 10.2$	$54.4 \pm 6.2$
18	Male	76	Middle	MD	T3N0M0	Negative	$75.2 \pm 3.3$	27.8 ±4.3	$92.0 \pm 4.6$
19	Female	58	Middle	MD	T3N0M0	Negative	$50.8 \pm 28.2$	-3.1 ±7.3	$83.0 \pm 16.7$
20	Male	47	Middle	PD	T3N2M0	Negative	$91.4 \pm 9.05$	$-6.9 \pm 3.8$	$91.2 \pm 14.3$

NOTE: Tumor histoculture end-point staining computer-image-analysis (TECIA) was performed as described in Materials and Methods section. Experiments were performed in quadruplicate and inhibition rate was shown as mean  $\pm$  SD. Positive staining of IHC was graded as follows: (+) low-intensity; (++) moderate-intensity; (+++) high-intensity. PD: poorly differentiated; WD: well differentiated; MD: moderately differentiated. *This material is available free of charge via the Internet at http://pubs.acs.org*